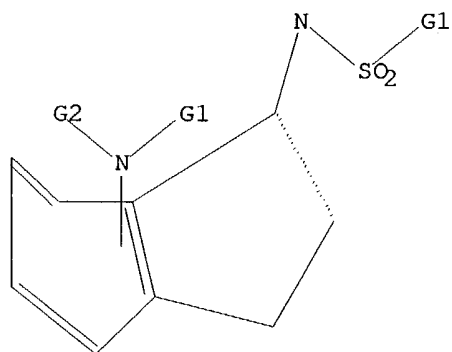


10/004,867



G1 Cb,Hy,Ak

G2 H,Cb,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full

FULL SEARCH INITIATED 12:47:45 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 52065 TO ITERATE

100.0% PROCESSED 52065 ITERATIONS

50 ANSWERS

SEARCH TIME: 00.00.01

L2 50 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

156.26

156.47

FILE 'CAPLUS' ENTERED AT 12:47:54 ON 17 SEP 2004

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 17 Sep 2004 VOL 141 ISS 13

FILE LAST UPDATED: 16 Sep 2004 (20040916/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

10/004,867

L3 6 L2

=> d l3 1-6 ibib abs hitstr

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:594821 CAPLUS

DOCUMENT NUMBER: 137:154856

TITLE: Preparation of N-indanyl sulfonamides as potassium channel inhibitors

INVENTOR(S): Beaudoin, Serge; Reed, Aimee D.; Gross, Michael

PATENT ASSIGNEE(S): Icagen Incorporated, USA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

present case

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060874	A1	20020808	WO 2001-US48601	20011219
WO 2002060874	C1	20030220		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002161011	A1	20021031	US 2001-4867	20011207
EP 1345905	A1	20030924	EP 2001-998049	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
PRIORITY APPLN. INFO.:			US 2000-256926P	P 20001221
			US 2001-4867	A 20011207
			WO 2001-US48601	W 20011219
OTHER SOURCE(S):	MARPAT 137:154856			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, B, D = C, N, N(O) (wherein at least one of A, B, and D is a substituted C atom and at most only one of A, B, and D is N(O)); E = H, alkyl; G = H, alkyl; or E and G taken together form a bond (site of unsatn.); R1 = H, alkyl, aryl, etc.; R2 = alkyl, aryl, heterocyclyl; R3 = H, alkyl, aryl, etc.; R4 = alkyl, aryl, heteroaryl, etc.; R5, R6 = H, F, alkyl; or R5 and R6 taken together, along with the carbom atom to which they are both attached, form a 3-7 membered carbocyclic or heterocyclic ring; R7 = H, alkyl, OH, etc.; n = 1-3], useful as potassium channel inhibitors and especially useful for the treatment of cardiac arrhythmias and cell proliferative disorders, were prepared Thus, amidation of the amine II (preparation given) with hydrocinnamoyl chloride in the presence of Et3N in THF afforded 21% III which showed 46% inhibition of Kv1.5 at 0.1 μ M.

IT 445402-76-6P 445402-81-3P 445402-82-4P

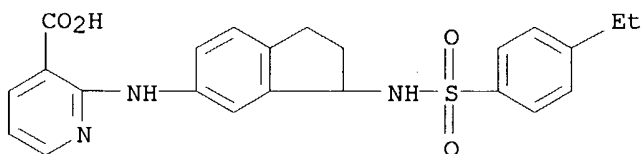
10/004,867

445402-85-7P 445402-86-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-indanyl sulfonamides as potassium channel inhibitors)

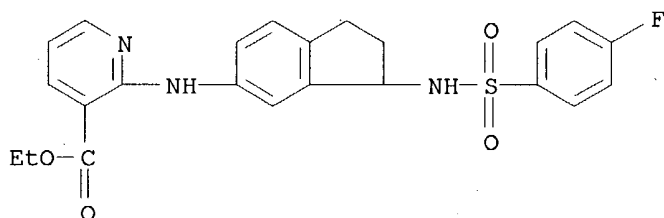
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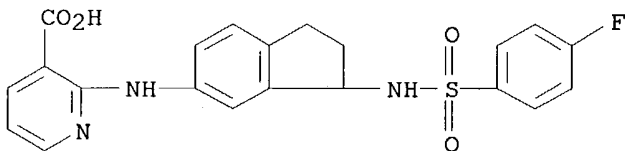
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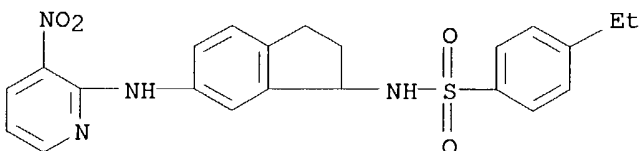
RN 445402-82-4 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[[3-[[4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]- (9CI) (CA INDEX NAME)



RN 445402-85-7 CAPLUS

CN Benzenesulfonamide, N-[2,3-dihydro-6-[(3-nitro-2-pyridinyl)amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)

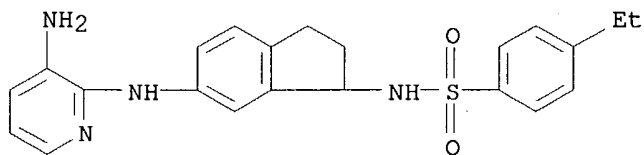


RN 445402-86-8 CAPLUS

CN Benzenesulfonamide, N-[6-[(3-amino-2-pyridinyl)amino]-2,3-dihydro-1H-inden-

10/004,867

1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



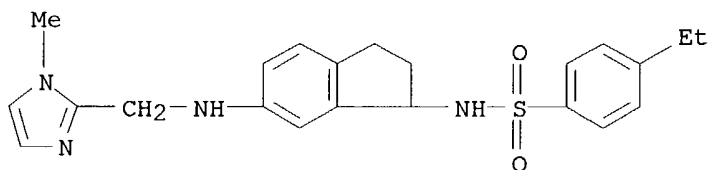
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346618-19-7P 346618-20-0P 445402-77-7P
445402-78-8P 445402-79-9P 445402-80-2P
445402-83-5P 445402-84-6P 445402-87-9P
445402-88-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-indanyl sulfonamides as potassium channel inhibitors)

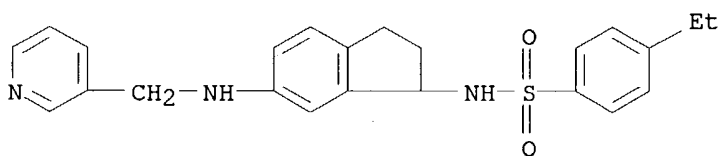
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CN Benzenesulfonamide, N-[2,3-dihydro-6-[(1-methyl-1H-imidazol-2-yl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



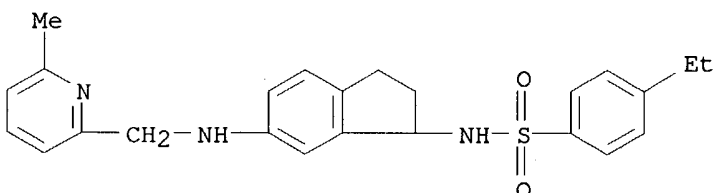
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CN Benzenesulfonamide, N-[2,3-dihydro-6-[(3-pyridinylmethyl)amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 346618-18-6 CAPLUS

CN Benzenesulfonamide, N-[2,3-dihydro-6-[(6-methyl-2-pyridinyl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)

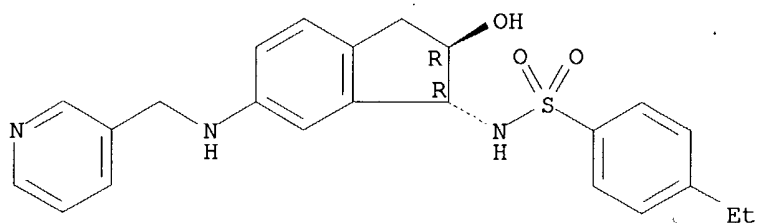


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RN 346618-19-7 CAPLUS

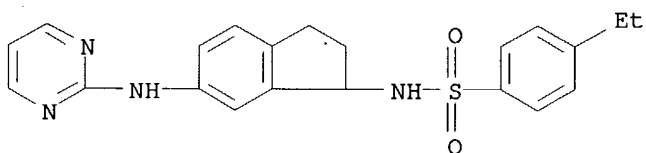
CN Benzenesulfonamide, N-[(1R,2R)-2,3-dihydro-2-hydroxy-6-[(3-pyridinylmethyl)amino]-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



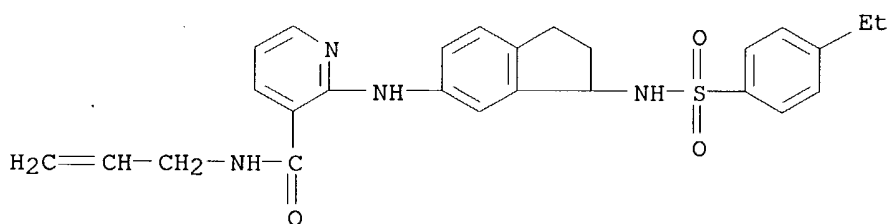
RN 346618-20-0 CAPLUS

CN Benzenesulfonamide, N-[2,3-dihydro-6-(2-pyrimidinylamino)-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 445402-77-7 CAPLUS

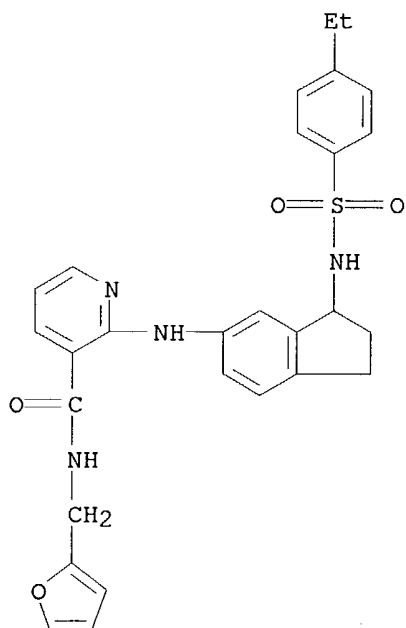
CN 3-Pyridinecarboxamide, 2-[[3-[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-2-propenyl- (9CI) (CA INDEX NAME)



RN 445402-78-8 CAPLUS

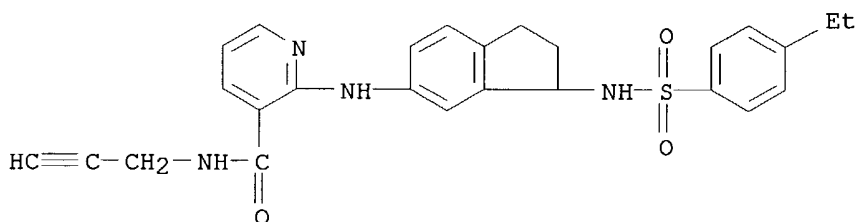
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10/004,867



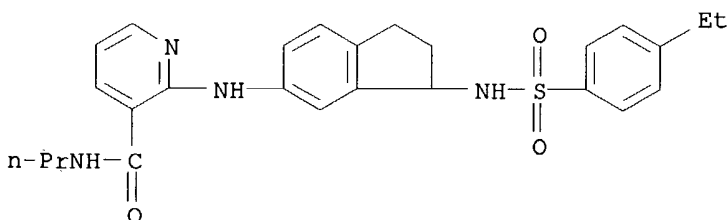
RN 445402-79-9 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-2-propynyl- (9CI) (CA INDEX NAME)



RN 445402-80-2 CAPLUS

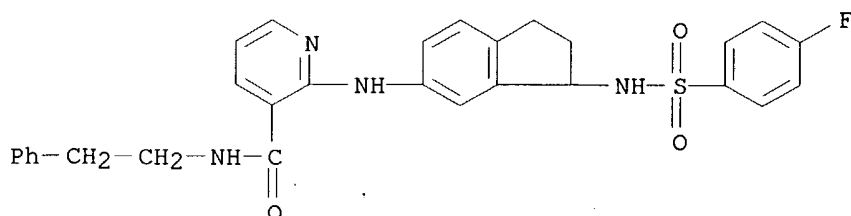
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RN 445402-83-5 CAPLUS

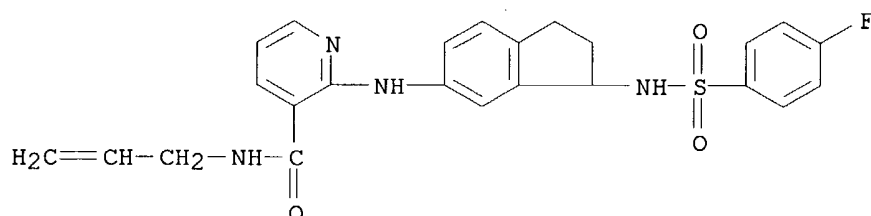
CN 3-Pyridinecarboxamide, 2-[[3-[[[4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

10/004,867



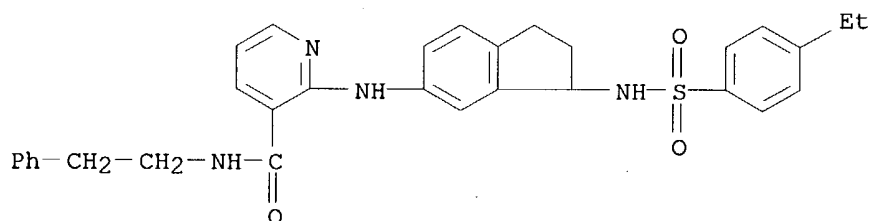
RN 445402-84-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[[4-(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 445402-87-9 CAPLUS

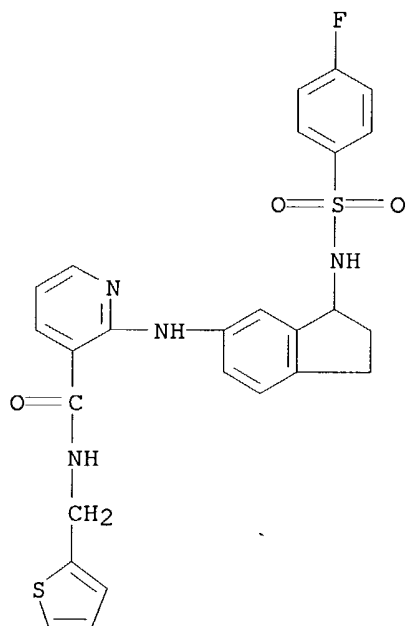
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RN 445402-88-0 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[[4-(4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]amino]-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

10/004,867



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:472680 CAPLUS
DOCUMENT NUMBER: 135:76902
TITLE: Preparation of N-heterocyclylmethyl indanediamines as potassium channel inhibitors
INVENTOR(S): Gross, Michael; Beaudoin, Serge; Reed, Aimee D.
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

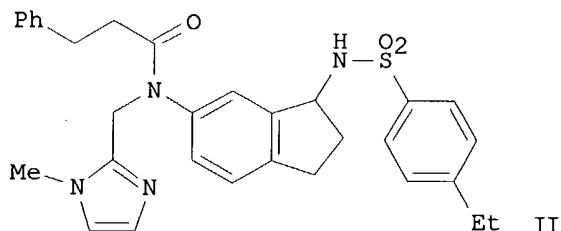
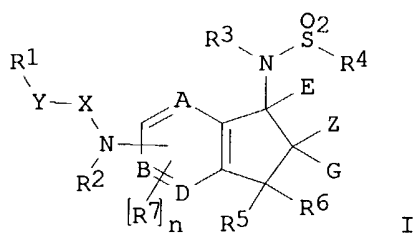
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001046155	A1	20010628	WO 2000-US34765	20001221
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002006929	A1	20020117	US 2000-741085	20001221
US 6458794	B2	20011001		
EP 1240147	A1	20020918	EP 2000-988231	20001221
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003518101	T2	20030603	JP 2001-547066	20001221
US 2003013706	A1	20030116	US 2002-210064	20020802

10/004,867

PRIORITY APPLN. INFO.:

US 1999-171397P	P 19991221
US 2000-231296P	P 20000908
US 2000-741085	A3 20001221
WO 2000-US34765	W 20001221

OTHER SOURCE(S): MARPAT 135:76902
GI



AB The title compds. [I; A, B, D = C, N, N(:O) (wherein at least one of A, B, and D is a substituted C and at most only one of A, B and D = N(:O)); E, G = H; E and G taken together form a bond; R1 = H, alkyl, aryl, etc.; Y = a bond, alkyl, alkenyl, etc.; X = CO, CS, SO2; R2, R3 = H, alkyl, aryl, etc.; R4 = alkyl, aryl, heteroalkyl, etc.; R5, R6 = H, alkyl; R7 = H, alkyl, OH, etc.; Z = H, alkyl, OH, etc.; n = 1-3], useful as potassium channel inhibitors and especially useful for the treatment of cardiac arrhythmias and cell proliferative disorders, were prepared E.g., a 2-step synthesis of II which showed 53% inhibition of Kv1.5 at 0.1 μ M, was given.

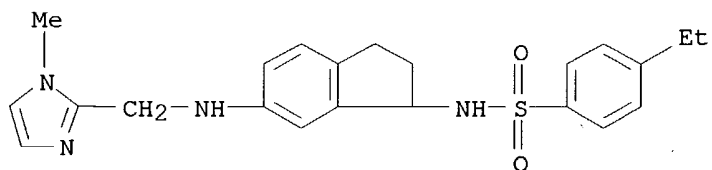
IT **346618-15-3P 346618-17-5P 346618-20-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-heterocyclylmethyl indanediarnines as potassium channel inhibitors)

RN 346618-15-3 CAPLUS

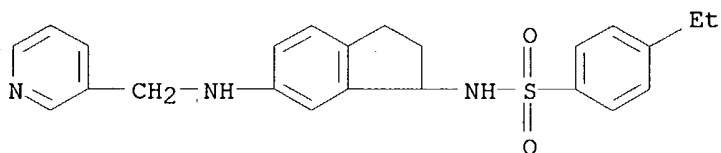
CN Benzenesulfonamide, N-[2,3-dihydro-6-[[[1-methyl-1H-imidazol-2-yl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)

10/004,867



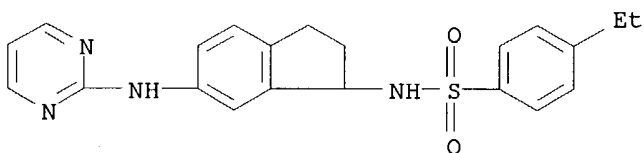
RN 346618-17-5 CAPLUS

CN Benzenesulfonamide, N-[2,3-dihydro-6-[(3-pyridinylmethyl)amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 346618-20-0 CAPLUS

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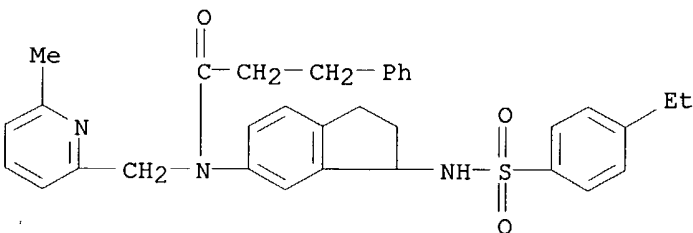


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346618-19-7P 346618-21-1P 346618-22-2P
346618-23-3P 346618-24-4P 346618-25-5P
346618-26-6P 346618-27-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-heterocyclylmethyl indanediamines as potassium channel inhibitors)

RN 346618-05-1 CAPLUS

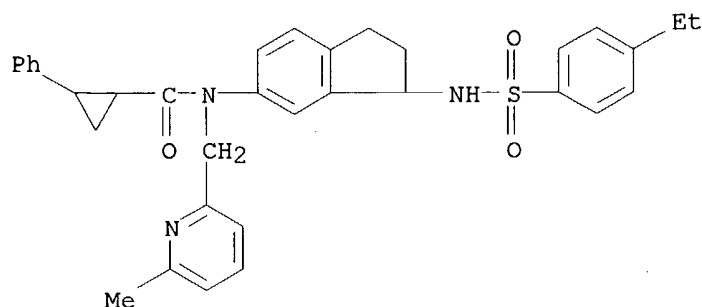
CN Benzenepropanamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



10/004,867

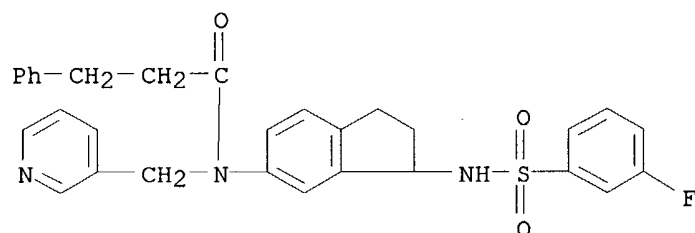
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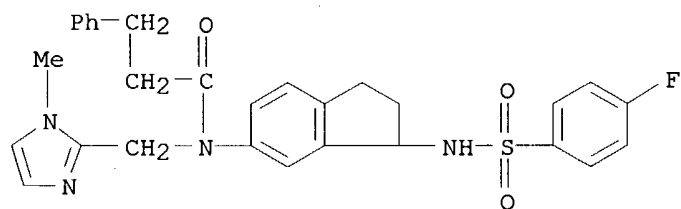
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RN 346618-08-4 CAPLUS

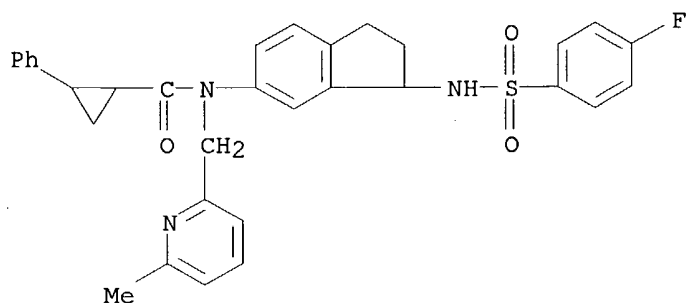
CN Benzenepropanamide, N-[3-[[[4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



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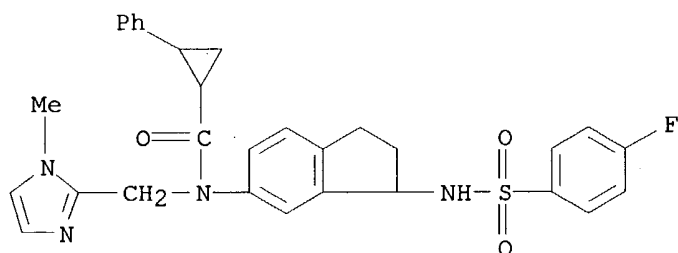
CN Cyclopropanecarboxamide, N-[3-[[[4-fluorophenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]-2-phenyl- (9CI) (CA INDEX NAME)

10/004,867



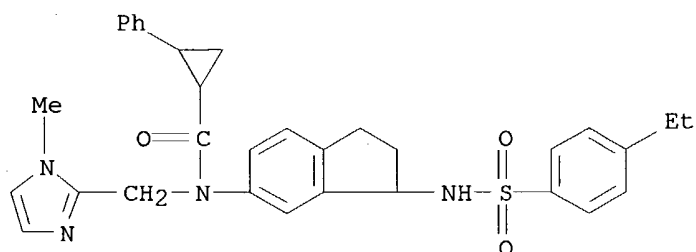
RN 346618-10-8 CAPLUS

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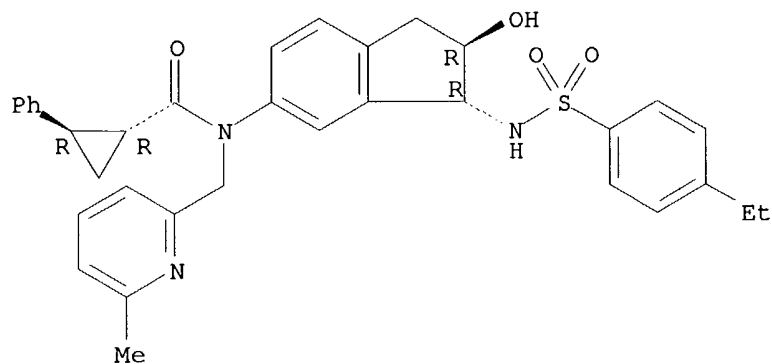


RN 346618-13-1 CAPLUS

CN Cyclopropanecarboxamide, N-[(2R,3R)-3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-N-[(6-methyl-2-pyridinyl)methyl]-2-phenyl-, (1R,2R)-rel- (9CI) (CA INDEX NAME)

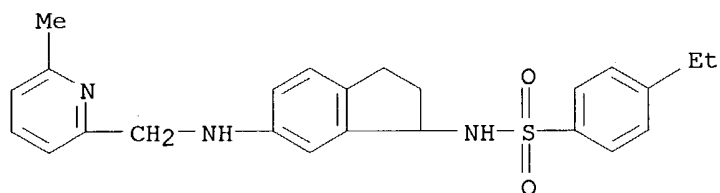
Relative stereochemistry.

10/004,867



RN 346618-18-6 CAPLUS

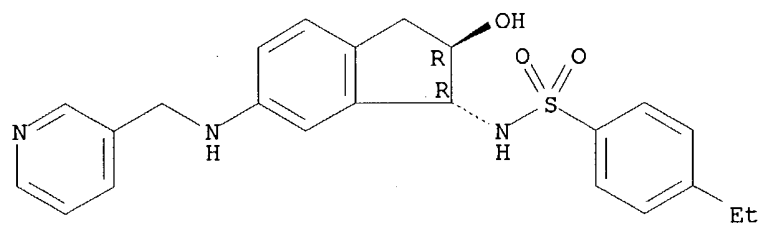
CN Benzenesulfonamide, N-[2,3-dihydro-6-[[(6-methyl-2-pyridinyl)methyl]amino]-1H-inden-1-yl]-4-ethyl- (9CI) (CA INDEX NAME)



RN 346618-19-7 CAPLUS

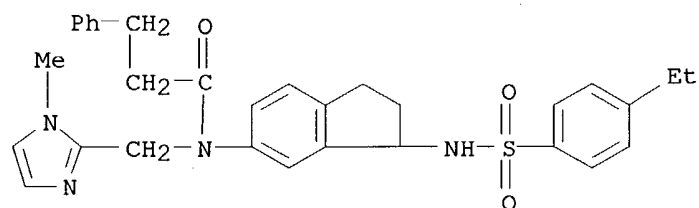
CN Benzenesulfonamide, N-[(1R,2R)-2,3-dihydro-2-hydroxy-6-[(3-pyridinylmethyl)amino]-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 346618-21-1 CAPLUS

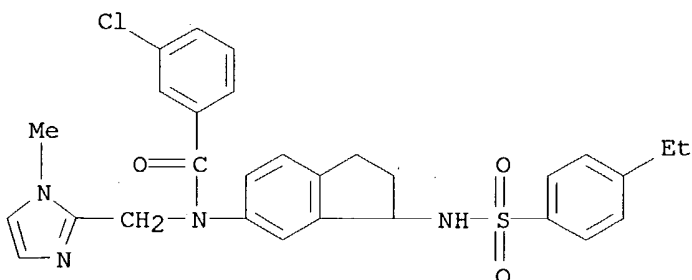
CN Benzenepropanamide, N-[3-[[(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



10/004,867

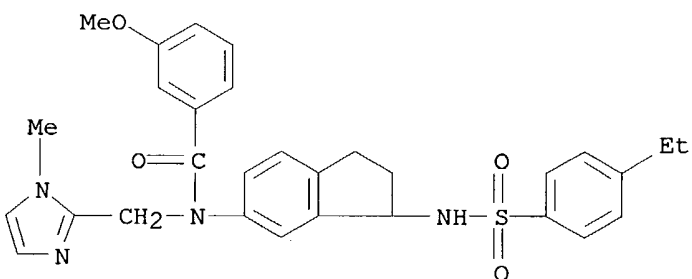
RN 346618-22-2 CAPLUS

CN Benzamide, 3-chloro-N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



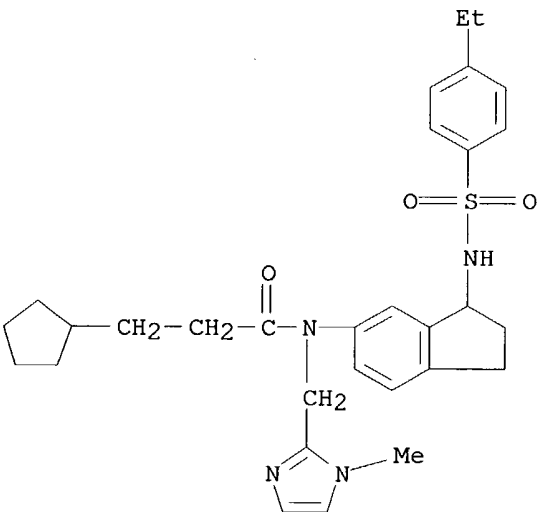
RN 346618-23-3 CAPLUS

CN Benzamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-3-methoxy-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



RN 346618-24-4 CAPLUS

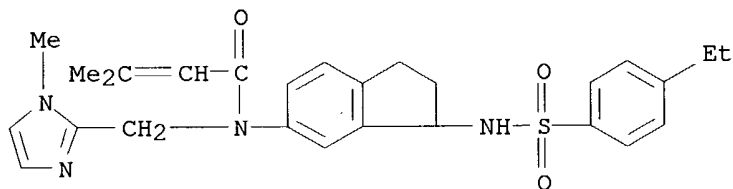
CN Cyclopentanepropanamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



10/004,867

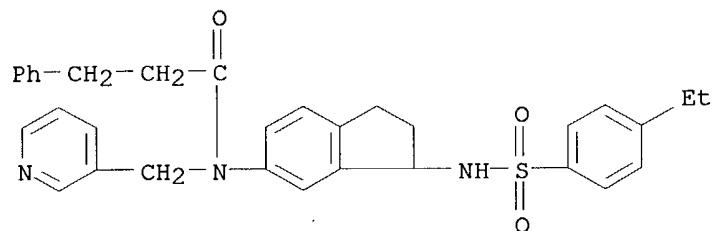
RN 346618-25-5 CAPLUS

CN 2-Butenamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-3-methyl-N-[(1-methyl-1H-imidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



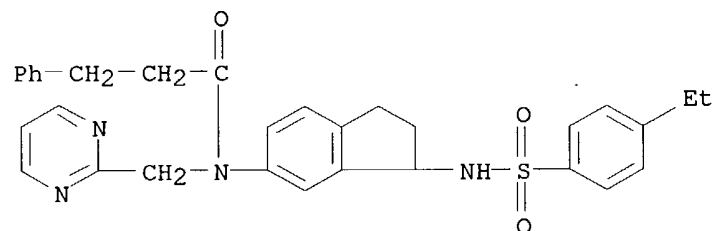
RN 346618-26-6 CAPLUS

CN Benzenepropanamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 346618-27-7 CAPLUS

CN Benzenepropanamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:859492 CAPLUS

DOCUMENT NUMBER: 134:157193

TITLE: Virtual screening for bioactive molecules by evolutionary De novo design

AUTHOR(S): Schneider, Gisbert; Clement-Chomienne, Odile; Hilfiger, Laurence; Schneider, Petra; Kirsch, Stefan; Bohm, Hans-Joachim; Neidhart, Werner

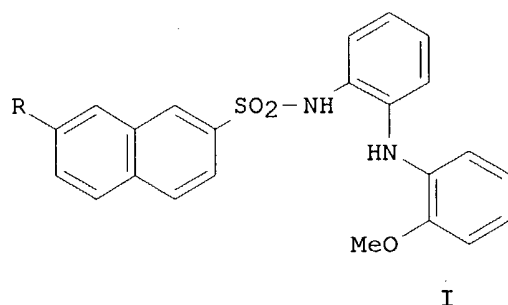
CORPORATE SOURCE: Pharmaceuticals Division, Hoffmann-La Roche Ltd., Basel, 4070, Switz.

SOURCE: Angewandte Chemie, International Edition (2000), 39(22), 4130-4133

CODEN: ACIEF5; ISSN: 1433-7851

10/004,867

PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB We present an efficient computational mol. design strategy that implements pharmacophore-guided evolutionary searching in chemical space. Exptl. proof of the concepts is demonstrated by the successful de novo design of a new structural class of potent K⁺-channel inhibitors. The algorithm TOPAS provides a solution to template-based de novo design, in which novel mols. are assembled taking a given bioactive compound as the reference point

(template

structure). We selected a known potent K⁺ channel blocking agent as the template mol. Two mols. (I, R = H, OMe) were synthesized based on the original design recommended by TOPAS. Electrophysiol. measurement proved K⁺-channel blocking activity for both.

IT 202749-19-7

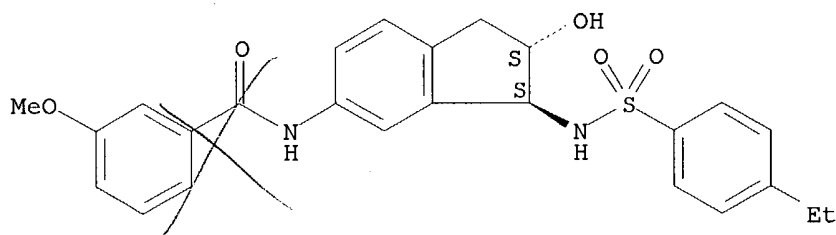
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(template; TOPAS algorithm for virtual screening for bioactive mols.: potassium channel blockers)

RN 202749-19-7 CAPLUS

CN Benzamide, N-[(2S,3S)-3-[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:161121 CAPLUS

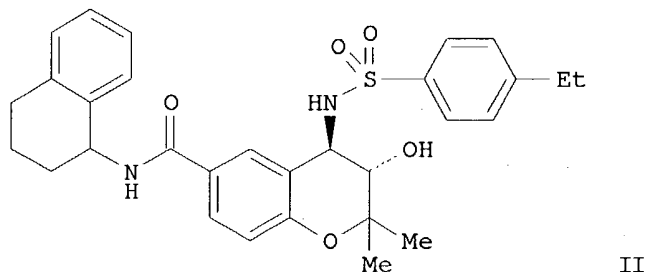
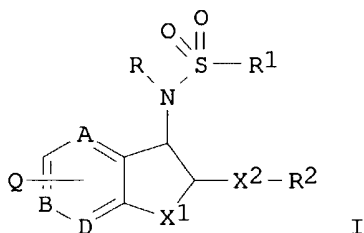
DOCUMENT NUMBER: 132:207763

TITLE: Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivatives as

10/004,867

INVENTOR(S): potassium channel inhibitors
Lloyd, John; Finlay, Heather J.; Vaccaro, Wayne;
Atwal, Karnail; Gross, Michael F.; Spear, Kerry L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012077	A1	20000309	WO 1999-US18599	19990816
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341678	AA	20000309	CA 1999-2341678	19990816
AU 9956753	A1	20000321	AU 1999-56753	19990816
AU 754204	B2	20021107		
EP 1109544	A1	20010627	EP 1999-943714	19990816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523451	T2	20020730	JP 2000-567195	19990816
US 6150356	A	20001121	US 1999-375955	19990817
US 6511977	B1	20030128	US 2000-670285	20000925
US 2004058931	A1	20040325	US 2002-295574	20021115
US 2004067944	A1	20040408	US 2002-295404	20021115
US 6784189	B2	20040831		
PRIORITY APPLN. INFO.:			US 1998-98709P	P 19980901
			WO 1999-US18599	W 19990816
			US 1999-375955	A3 19990817
			US 2000-670285	A3 20000925
OTHER SOURCE(S):	MARPAT 132:207763			
GI				



AB The title compds. (I) [wherein A, B, and D = independently CH or N; R = H, (aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl; R1 = (aryl)alkyl, aryl, alkenyl, heterocyclo, NR5-heterocyclo, (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R and R1 taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H, (aryl)alkyl, acyl, carboxymethyl, carbamoylmethyl, etc.; R3 and R4 = independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken together with the C to which they are attached form a 5- to 8-membered ring; R5 = H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)_n, O, NR5, S, S(O), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(O)CR3R4-, or -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or O; Q = substituted NHCH:NCN, acyl, (un)substituted sulfamoyl, or substituted heterocyclo] were prepd by solution phase or solid phase synthesis as antiarrhythmics. For example, II was formed in a 3-step sequence involving: (1) sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) hydrolysis of the nitrile to the carboxylic acid using aqueous Na2O2 (33%), and (3) amidation with 1,2,3,4-tetrahydro-1-naphthylamine (51%). I block the delayed rectifier voltage-gated K⁺ channel (IK_{ur}) and are therefore useful in the prevention and treatment of cardiac arrhythmia (no data).

IT **260402-16-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

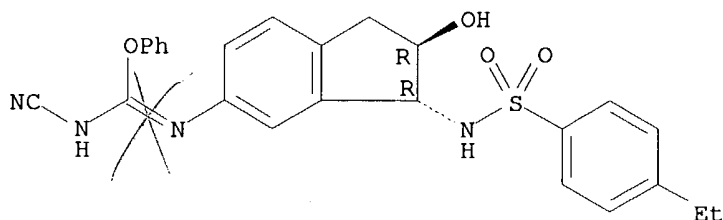
(intermediate; preparation of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by solution phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

RN 260402-16-2 CAPLUS

CN Carbamimidic acid, N-cyano-N'-[(2R,3R)-3-[[4-(4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-, phenyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10/004,867



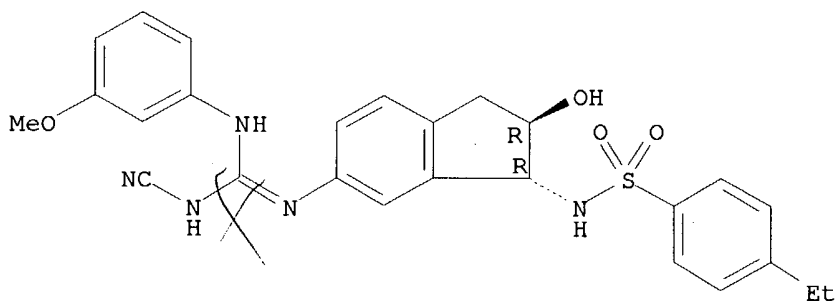
IT 260399-04-0P 260399-05-1P 260399-06-2P
260399-07-3P 260399-08-4P 260399-09-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by solution phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

RN 260399-04-0 CAPLUS

CN Benzenesulfonamide, N-[(1R,2R)-6-[[[(cyanoamino)[(3-methoxyphenyl)amino]methylene]amino]-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

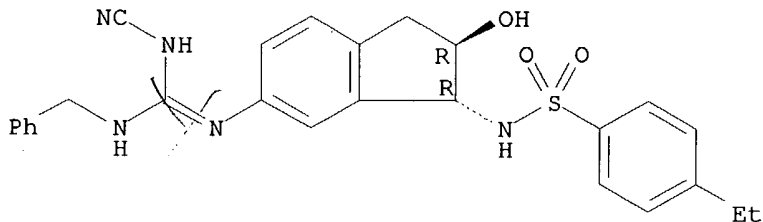
Relative stereochemistry.



RN 260399-05-1 CAPLUS

CN Benzenesulfonamide, N-[(1R,2R)-6-[[[(cyanoamino)[(phenylmethyl)amino]methylene]amino]-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



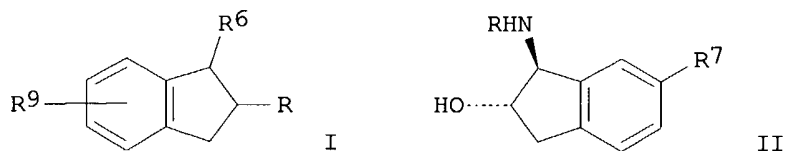
RN 260399-06-2 CAPLUS

CN Benzenesulfonamide, N-[(1R,2R)-6-[[[(cyanoamino)[(2-phenylethyl)amino]methylene]amino]-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-4-ethyl-, rel- (9CI) (CA INDEX NAME)

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:105937 CAPLUS
DOCUMENT NUMBER: 128:153932
TITLE: Preparation of N-indanylbenzenesulfonamides and
analogues as potassium channel blockers
INVENTOR(S): Castle, Neil Alexander; Hollinshead, Sean Patrick;
Hughes, Philip Floyd; Mendoza, Jose Serafin; Wilson,
Joseph Wendell; Amato, George; Beaudoin, Serge; et al.
PATENT ASSIGNEE(S): Icagen, Inc., USA; Eli Lilly and Company
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804521	A1	19980205	WO 1997-US12559	19970723
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6083986	A	20000704	US 1997-893160	19970715
CA 2261814	AA	19980205	CA 1997-2261814	19970723
AU 9738035	A1	19980220	AU 1997-38035	19970723
AU 734711	B2	20010621		
EP 923543	A1	19990623	EP 1997-934996	19970723
EP 923543	B1	20030924		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9710587	A	20001031	BR 1997-10587	19970723
JP 2002513385	T2	20020508	JP 1998-508884	19970723
AT 250571	E	20031015	AT 1997-934996	19970723
ZA 9706640	A	19980302	ZA 1997-6640	19970725
KR 2000029605	A	20000525	KR 1999-7000669	19990126
PRIORITY APPLN. INFO.:			US 1996-22547P	P 19960726
			US 1997-893160	A 19970715
			US 1996-22547	A 19960726
			WO 1997-US12559	W 19970723
OTHER SOURCE(S):	MARPAT	128:153932		
GI				

10/004,867



AB Title compds. [I; R = H, OR5, (di)(alkyl)amino, alkoxy-carbonylamino, etc.; R5 = H, (CH₂)_mR8, CO(CH₂)_mR8; R6 = NR₃Z₂Z₁R₁; R₁ = H, alkyl, (hetero)aryl, etc.; R₃ = H or Me; R₈ = (di)(alkyl)amino, CO₂H, alkoxy-carbonyl, etc.; R₉ = R₂Z₃Z₄NR₄; R₂ = alkyl, heterocyclyl, (hetero)aryl, etc.; R₄ = H or Me; Z₁ = CO or SO₂; Z₂ = bond, O, CH₂, NH, CH:CH; Z₃ = bond, O, CH₂, NH, CH:CH, etc.; Z₄ = CO, CS, SO₂; m = 1-5] were prepared Thus, indanamine II (R = H, R₇ = NO₂) (preparation given) was amidated by 4-EtC₆H₄SO₂Cl and the reduced product amidated by 3-(MeO)C₆H₄COCl to give II [R = 4-EtC₆H₄SO₂, R₇ = 3-(MeO)C₆H₄CONH]. Data for biol. activity of I were given.

IT 202748-98-9P 202748-99-0P 202749-00-6P

202749-01-7P 202749-02-8P 202749-03-9P

202749-04-0P 202749-19-7P

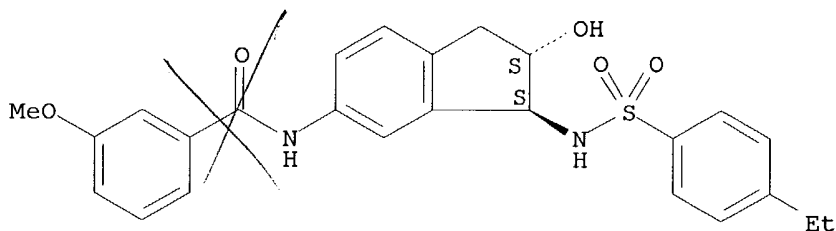
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-indanylbzenesulfonamides and analogs as potassium channel blockers)

RN 202748-98-9 CAPLUS

CN Benzamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-3-methoxy-, trans- (9CI) (CA INDEX NAME)

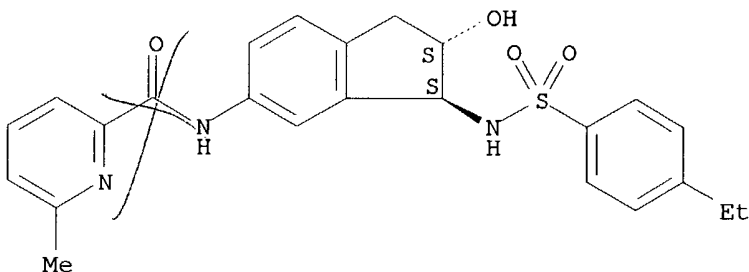
Relative stereochemistry.



RN 202748-99-0 CAPLUS

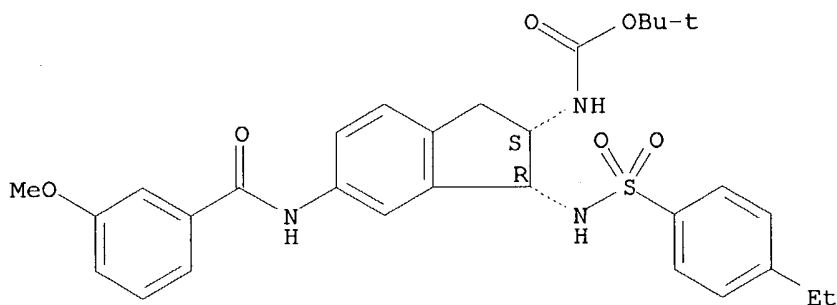
CN 2-Pyridinecarboxamide, N-[3-[[[4-ethylphenyl)sulfonyl]amino]-2,3-dihydro-2-hydroxy-1H-inden-5-yl]-6-methyl-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



10/004,867

Relative stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:38906 CAPLUS

DOCUMENT NUMBER: 126:89137

TITLE: Preparation of 3,4-disubstituted benzenesulfonamides and their therapeutic use

INVENTOR(S): Dyke, Hazel Joan; Montana, John

PATENT ASSIGNEE(S): Chiroscience Limited, UK

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

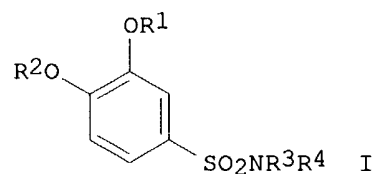
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9636596	A1	19961121	WO 1996-GB1205	19960520
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML			
AU 9657723	A1	19961129	AU 1996-57723	19960520
ZA 9604001	A	19970520	ZA 1996-4001	19960520
PRIORITY APPLN. INFO.:			GB 1995-10163	A 19950519
			GB 1995-23677	A 19951120
			WO 1996-GB1205	W 19960520

OTHER SOURCE(S): MARPAT 126:89137
GI



AB 3,4-Disubstituted benzenesulfonamides I [R1 = C1-6 alkyl, cycloalkyl; R2 =

10/004,867

C1-3 alkyl; R3 = H, aralkyl, heterocycloalkyl, COR7, SOR7, C1-6 alkyl; R4 = 5- or 6-membered saturated or unsatd. carbocyclic or heterocyclic ring; R7 = aryl, heteroaryl, heterocyclyl, C1-6 alkyl; m = 1, 2] were prepared and have therapeutic utility via phosphodiesterase IV inhibition (no data). E.g., reaction of 5.04 g 1-aminoindane with 8.99 g 3,4-dimethoxybenzenesulfonyl chloride gave 10.83 g N-(indan-1-yl)-3,4-dimethoxybenzenesulfonamide.

IT **185122-45-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of disubstituted benzenesulfonamides as inhibitors of phosphodiesterase IV)

RN 185122-45-6 CAPLUS

CN Acetamide, N-[1-[[[(3,4-dimethoxyphenyl)sulfonyl]amino]-2,3-dihydro-1H-inden-5-yl]- (9CI) (CA INDEX NAME)

